

C-A-S-

8-11-01

09/677,328

Page 1

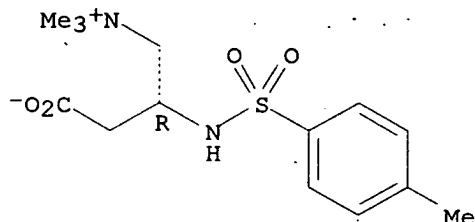
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L12 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2001 ACS  
 AN 2001:31448 CAPLUS  
 DN 134:86546  
 TI Synthesis of (R)- and (S)-aminocarnitine and their derivatives starting from D- and L-aspartic acid  
 IN Giannessi, Fabio; Dell'Uomo, Natalina; Tinti, Maria Ornella; De Angelis, Francesco  
 PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy  
 SO PCT Int. Appl., 26 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001002341	A1	20010111	WO 2000-IT258	20000623
	W:		AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
				IT 1999-RM418	A 19990630

OS MARPAT 134:86546  
 AB A process is described for the prepn. of (R)- or (S)-aminocarnitine and their N-substituted derivs. from aspartic acid with the same configuration as the aminocarnitine desired. Thus, (R)-3-(tosylamino)butano-4-lactone [available from (R)-aspartic acid] was treated with iso-Bu alc. and Me3SiI in CH2Cl2 and the iodo ester treated with Me3N in chloroform-iso-Bu alc. and then 48% HBr and phenol to afford (R)-aminocarnitine.  
 IT **108919-55-7P 318249-46-6P 318249-49-9P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (synthesis of (R)- and (S)-aminocarnitine and their derivs. starting from D- and L-aspartic acid)  
 RN 108919-55-7 CAPLUS  
 CN 1-Propanaminium, 3-carboxy-N,N,N-trimethyl-2-[[[4-methylphenyl)sulfonyl]amino]-, inner salt, (2R)- (9CI) (CA INDEX NAME)

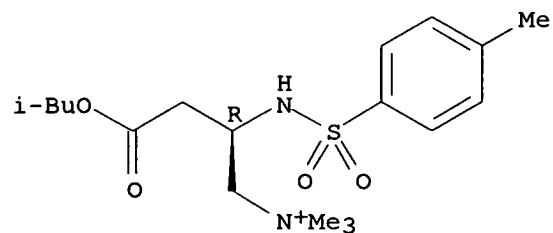
Absolute stereochemistry.



RN 318249-46-6 CAPLUS

CN 1-Butanaminium, N,N,N-trimethyl-2-[[[(4-methylphenyl)sulfonyl]amino]-4-(2-methylpropoxy)-4-oxo-, iodide, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 318249-49-9 CAPLUS

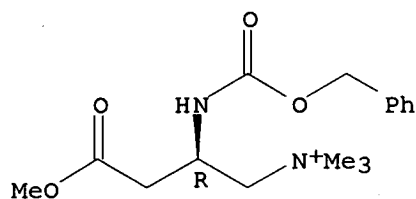
CN 1-Butanaminium, 4-methoxy-N,N,N-trimethyl-4-oxo-2-[[[(phenylmethoxy)carbonyl]amino]-, (2R)-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 318249-48-8

CMF C16 H25 N2 O4

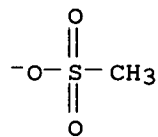
Absolute stereochemistry.



CM 2

CRN 16053-58-0

CMF C H3 O3 S



RE.CNT 2

RE

- (1) Charles, W; HELVETICA CHIMICA ACTA 1996, V79, P1203  
 (2) Sigma Tau Ind Farmaceuti; EP 0636603 A 1995 CAPLUS

L12 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2001 ACS

AN 1999:753199 CAPLUS

DN 131:351673

TI Preparation of **carnitine**-related compounds having reversible inhibiting activity of **carnitine** palmitoyl-transferase

IN Giannessi, Fabio; Marzi, Mauro; Minetti, Patrizia; De Angelis, Francesco; Tinti, Maria Ornella; Chiodi, Piero; Arduini, Arduino

PA Sigma-Tau Industrie Farmaceutiche Riunite S.P.A., Italy

SO PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9959957	A1	19991125	WO 1999-IT126	19990511
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9938473	A1	19991206	IT 1998-MI1075 A	19980515
				AU 1999-38473	19990511
				IT 1998-MI1075 A	19980515
				WO 1999-IT126 W	19990511
	EP 1077925	A1	20010228	EP 1999-921135	19990511
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
				IT 1998-MI1075 A	19980515
				WO 1999-IT126 W	19990511

OS MARPAT 131:351673

AB Compds. X+-CH<sub>2</sub>CH(Z)CH<sub>2</sub>-Y- [X+ = N+R<sub>1</sub>R<sub>2</sub>R<sub>3</sub> or P+R<sub>1</sub>R<sub>2</sub>R<sub>3</sub>, where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> = H, alkyl, CH:NH(NH<sub>2</sub>), NH<sub>2</sub>, OH or two or more R<sub>1</sub>, R<sub>2</sub>, and R<sub>3</sub> together with the nitrogen atom form a mono- or bicyclic heterocyclic system (at least one of R<sub>1</sub>, R<sub>2</sub>, and R<sub>3</sub> is different from hydrogen); Z = OR<sub>4</sub>, OCO<sub>2</sub>R<sub>4</sub>, OCONHR<sub>4</sub>, OCSNHR<sub>4</sub>, OCSOR<sub>4</sub>, NHR<sub>4</sub>, NHCOR<sub>4</sub>, NHCSR<sub>4</sub>, NHCO<sub>2</sub>R<sub>4</sub>, NHCSOR<sub>4</sub>, NHCONHR<sub>4</sub>, NHCSNHR<sub>4</sub>, NHSOR<sub>4</sub>, NHSONHR<sub>4</sub>, NHSO<sub>2</sub>R<sub>4</sub>, NHSO<sub>2</sub>NHR<sub>4</sub>, SR<sub>4</sub>, where R<sub>4</sub> = (un)substituted alkyl; Y- = CO<sub>2</sub>-, PO<sub>3</sub>H-, OPO<sub>3</sub>H-, tetrazolate-5-yl], as (R,S) racemic mixts. or single R or S enantiomers, or their pharmaceutically acceptable salts were prepd. as inhibitors of **carnitine** palmitoyl-transferase (CPT). Thus, R,S-4-trimethylammonium-3-(nonylcarbamoyl)aminobutyrate, prepd. by reaction of nonyl isocyanate with aminocarnitine inner salt, showed IC<sub>50</sub> = 0.5

.mu.M/I

for inhibition of CPT 1 curve of .beta.-hydroxybutyrate prodn. in rat hepatocytes.

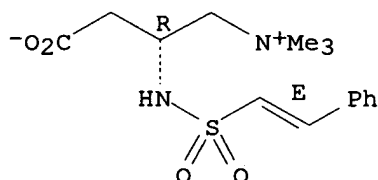
IT 250694-24-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of **carnitine**-related compds. having reversible

inhibiting activity of **carnitine palmitoyl-transferase**)  
 RN 250694-24-7 CAPLUS  
 CN 1-Propanaminium, 3-carboxy-N,N,N-trimethyl-2-[[[(1E)-2-phenylethenyl]sulfonylamino]-, inner salt, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RE.CNT 6

RE

- (1) Affymax Technologies; WO 9325197 A 1993 CAPLUS
  - (2) Cornell Research Foundation; WO 8504396 A 1985 CAPLUS
  - (3) Gandour, R; US 5196418 A 1993 CAPLUS
  - (4) Sandoz; EP 0574355 A 1993 CAPLUS
  - (5) Sang, J; WO 9800389 A 1998 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2001 ACS

AN 1997:119101 CAPLUS

DN 126:126892

TI Drug mitochondrial-targeting agents

IN Steliou, Kosta

PA Trustees of Boston University, USA

SO PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9639193	A2	19961212	WO 1996-US10293	19960606
	WO 9639193	A3	19970605		
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
				US 1995-468844	19950606
AU	9663853	A1	19961224	AU 1996-63853	19960606
				US 1995-468844	19950606
				WO 1996-US10293	19960606
EP	831918	A2	19980401	EP 1996-923305	19960606
	R: CH, DE, FR, GB, LI, SE				
				US 1995-468844	19950606
				WO 1996-US10293	19960606

OS MARPAT 126:126892

AB The invention relates to novel targeting drug agents that are targeted for

entry into the mitochondria. More specifically, the agents are cisplatin derivs. called mitoplatins which are useful as anti-tumor agents. Mitoplatins are named for their targeting to the mitochondrial DNA via the **carnitine**-acylcarnitine translocase system. The invention also relates to methods of synthesizing mitoplatins, compns. of matter contg. mitoplatins and methods of using the mitoplatins. Compds. of the invention, in addn. to being useful for the treatment of neoplasms, may also be used to treat arthritic disorders.

IT **186253-73-6**

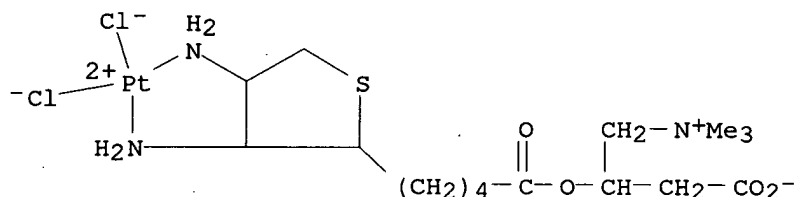
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(drug mitochondrial-targeting agents, and prepn. thereof)

RN 186253-73-6 CAPLUS

CN Platinum,

[3-carboxy-2-[[5-[3,4-di(amino-.kappa.N)tetrahydro-2-thienyl]-1-oxopentyl]oxy]-N,N,N-trimethyl-1-propanaminiumato]dichloro-, [SP-4-3-[2S-[2.alpha.(S\*),3.alpha.,4.alpha.]]]- (9CI) (CA INDEX NAME)



IT **186253-67-8P 186253-69-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction; drug mitochondrial-targeting agents, and prepn. thereof)

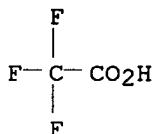
RN 186253-67-8 CAPLUS

CN 1-Propanaminium, 3-carboxy-2-[[5-(3,4-diaminotetrahydro-2-thienyl)-1-oxopentyl]oxy]-N,N,N-trimethyl-, [2S-[2.alpha.(S\*),3.alpha.,4.alpha.]]-, hexafluorophosphate(1-), bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 76-05-1

CMF C2 H F3 O2



CM 2

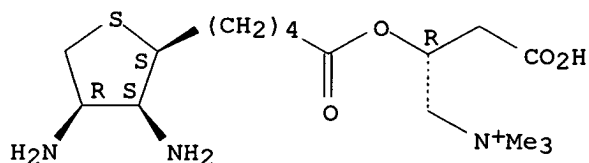
CRN 186253-66-7

CMF C16 H32 N3 O4 S . F6 P

CM 3

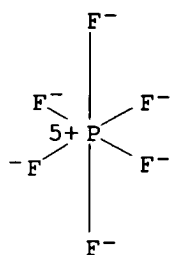
CRN 186253-65-6  
CMF C16 H32 N3 O4 S

Absolute stereochemistry.

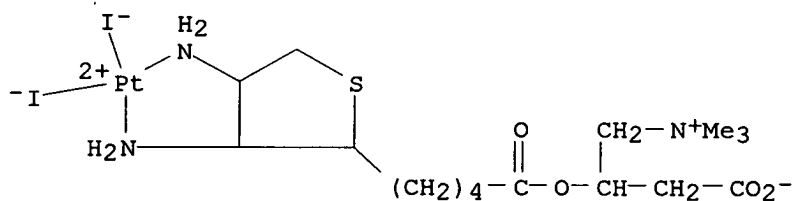


CM 4

CRN 16919-18-9  
CMF F6 P  
CCI CCS



RN 186253-69-0 CAPLUS  
CN Platinum,  
[3-carboxy-2-[[5-[3,4-di(amino-.kappa.N)tetrahydro-2-thienyl]-1-oxopentyl]oxy]-N,N,N-trimethyl-1-propanaminiumato]diiodo-,  
[SP-4-3-[2S-[2.alpha.(S\*),3.alpha.,4.alpha.]]]- (9CI) (CA INDEX NAME)



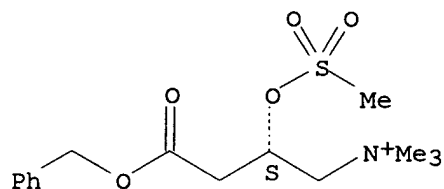
L12 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2001 ACS  
AN 1996:473565 CAPLUS  
DN 125:276450  
TI The .beta.-lactone route to a totally stereoselective synthesis of  
**carnitine** derivatives  
AU Bernabei, Ida; Castagnani, Roberto; De Angelis, Francesco; De Fusco,  
Enrico; Giannessi, Fabio; Misiti, Domenico; Muck, Sandra; Scafetta,  
Nazareno; Tinti, Maria Ornella

CS Dipl. Chem., Dip. Ricerca Chim., Pomezia, 00040, Italy  
 SO Chem.--Eur. J. (1996), 2(7), 826-831 Published in: Angew. Chem., Int. Ed. Engl., 35, 13/14  
 CODEN: CEUJED; ISSN: 0947-6539  
 DT Journal  
 LA English  
 AB The syntheses of the enantiomerically pure, **carnitine**-related .beta.-lactones starting from various **carnitine** precursors of opposite configuration (or **carnitine** itself) are described. (R)-3-Chlorocarnitine has also been directly prepd. from (S)-**carnitine** and has been cyclized to the lactone by a second inversion of configuration of the stereogenic center. By nucleophilic attack at the carbonyl carbon, the .beta.-lactone **carnitine** derivs. have been converted into esters, amides and guanidino congeners. Following this route, it is possible to obtain the biol. active isomer (R)-**carnitine** starting from the otherwise useless industrial byproduct (S)-**carnitine**. Nucleophilic attack by selected ambident nucleophiles at the .beta.-carbon of the same .beta.-lactone derivs. results in a second inversion of configuration of the stereogenic center. Besides aminocarnitine, chiral acetylcarnitine and acetylthiocarnitine have been synthesized in homochiral forms following this latter procedure.  
 IT **160409-56-3P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (lactone route to totally stereoselective synthesis of **carnitine** derivs.)  
 RN 160409-56-3 CAPLUS  
 CN 1-Butanaminium, N,N,N-trimethyl-2-[(methylsulfonyl)oxy]-4-oxo-4-(phenylmethoxy)-, (S)-, perchlorate (9CI) (CA INDEX NAME)

CM 1

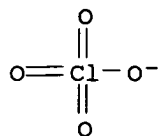
CRN 160409-55-2  
 CMF C15 H24 N O5 S  
 CDES 1:S

Absolute stereochemistry.



CM 2

CRN 14797-73-0  
 CMF C1 O4



L12 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2001 ACS

AN 1995:938570 CAPLUS

DN 123:330026

TI Fatigue-relieving agents containing biotin, **carnitine** and pantothenic acid

IN Osada, Kazusane; Tsunoda, Kenji

PA Taisho Pharma Co Ltd, Japan

SO Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 07233070	A2	19950905	JP 1994-25654	19940223
AB	Fatigue-relieving agents contg. biotin (I), <b>carnitine</b> (II) and pantothenic acid (III) as active ingredients are claimed. I promotes metab. of lactic acid by activation of pyruvate carboxylase, III as a component of acetyl CoA and II, which promotes excretion of excess acetyl CoA in mitochondria, and their combination is more effective to ameliorate phys. and mental fatigue. A mixt. contg. I 5, II 50, pantethine 50, hydrogenated oil 180, 1-menthol 15, and light SiO <sub>2</sub> 5 mg was made into a granule. Concomitant administration of 1500 .mu.g, pantethine 50 mg, and II chloride 100 mg to healthy adult volunteers ameliorated fatigue of anterior tibial muscle induced by leaning backward to the very limit.				
IT	170930-74-2 170930-75-3 170930-76-4 170930-77-5 170930-78-6				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (fatigue-releaving agents contg. biotin, <b>carnitine</b> , and pantothenic acid)				
RN	170930-74-2 CAPLUS				
CN	.beta.-Alanine, N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)-, (R)-, mixt. with (R)-3-carboxy-2-hydroxy-N,N,N-trimethyl-1-propanaminium inner salt and [3aS-(3a.alpha., 4.beta., 6a.alpha.)]-hexahydro-2-oxo-1H-thieno[3,4-d]imidazole-4-pentanoic acid (9CI) (CA INDEX NAME)				

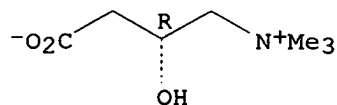
CM 1

CRN 541-15-1

CMF C7 H15 N O3

Absolute stereochemistry. Rotation (-).



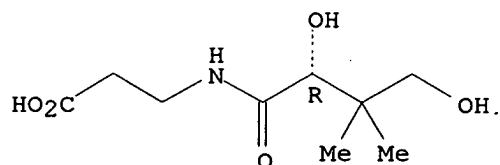


CM 2

CRN 79-83-4

CMF C9 H17 N O5

Absolute stereochemistry. Rotation (+).

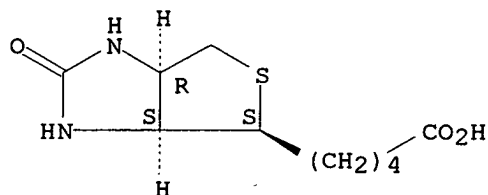


CM 3

CRN 58-85-5

CMF C10 H16 N2 O3 S

Absolute stereochemistry. Rotation (+).



RN 170930-75-3 CAPLUS

CN 1-Propanaminium, 3-carboxy-2-hydroxy-N,N,N-trimethyl-, inner salt, (R)-, mixt. with [R-(R\*,R\*)]-N,N'-[dithiobis[2,1-ethanediylimino(3-oxo-3,1-propanediyl)]]bis[2,4-dihydroxy-3,3-dimethylbutanamide] and [3aS-(3a.alpha.,4.beta.,6a.alpha.)]-hexahydro-2-oxo-1H-thieno[3,4-d]imidazole-4-pentanoic acid (9CI) (CA INDEX NAME)

CM 1

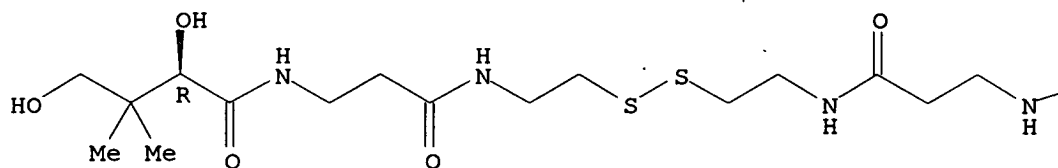
CRN 16816-67-4

CMF C22 H42 N4 O8 S2

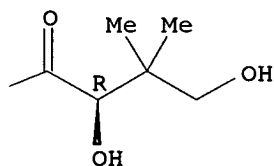
CDES 1:R2:R\*,R\*

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

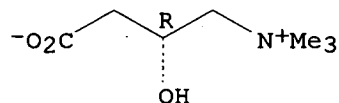


CM 2

CRN 541-15-1

CMF C7 H15 N O3

Absolute stereochemistry. Rotation (-).

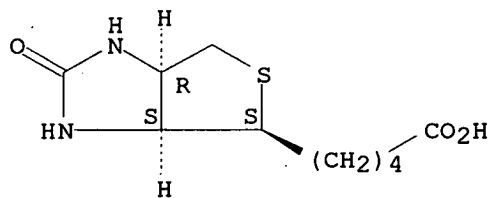


CM 3

CRN 58-85-5

CMF C10 H16 N2 O3 S

Absolute stereochemistry. Rotation (+).



RN 170930-76-4 CAPLUS

CN .beta.-Alanine, N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)-, monosodium salt, (R)-, mixt. with

3-carboxy-2-hydroxy-N,N,N-trimethyl-1-propanaminium

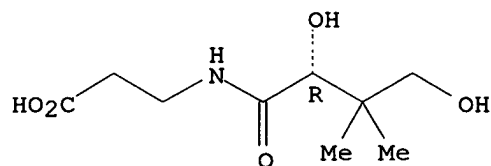
chloride and [3aS-(3a.alpha.,4.beta.,6a.alpha.)]-hexahydro-2-oxo-1H-thieno[3,4-d]imidazole-4-pentanoic acid (9CI) (CA INDEX NAME)

CM 1

CRN 867-81-2

CMF C9 H17 N O5 . Na

Absolute stereochemistry. Rotation (+).

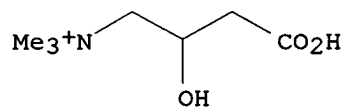


● Na

CM 2

CRN 461-05-2

CMF C7 H16 N O3 . Cl

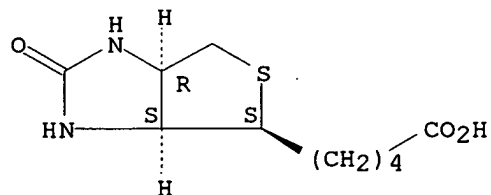
● Cl<sup>-</sup>

CM 3

CRN 58-85-5

CMF C10 H16 N2 O3 S

Absolute stereochemistry. Rotation (+).



RN 170930-77-5 CAPLUS

CN 1-Propanaminium, 3-carboxy-2-hydroxy-N,N,N-trimethyl-, chloride, mixt. with [R-(R\*,R\*)]-N,N'-[dithiobis[2,1-ethanediylimino(3-oxo-3,1-propanediyl)]]bis[2,4-dihydroxy-3,3-dimethylbutanamide] and [3aS-(3a.alpha.,4.beta.,6a.alpha.)]-hexahydro-2-oxo-1H-thieno[3,4-

d)imidazole-4-pentanoic acid (9CI) (CA INDEX NAME)

CM 1

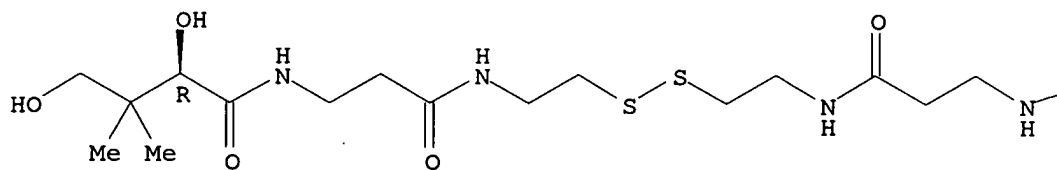
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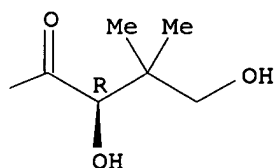
CDES 1:R2:R\*,R\*

Absolute stereochemistry.

PAGE 1-A



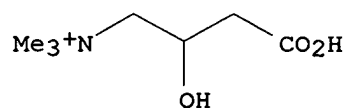
PAGE 1-B



CM 2

CRN 461-05-2

CMF C7 H16 N O3 . Cl



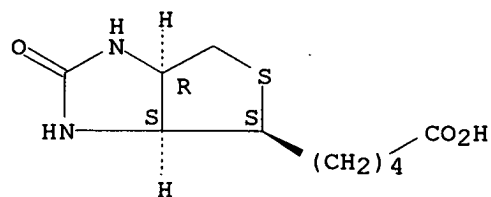
● Cl<sup>-</sup>

CM 3

CRN 58-85-5

CMF C10 H16 N2 O3 S

Absolute stereochemistry. Rotation (+).



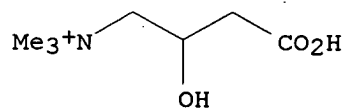
RN 170930-78-6 CAPLUS

CN .beta.-Alanine, N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)-, (R)-, mixt. with 3-carboxy-2-hydroxy-N,N,N-trimethyl-1-propanaminium chloride and [3aS-(3a.alpha.,4.beta.,6a.alpha.)]-hexahydro-2-oxo-1H-thieno[3,4-d]imidazole-4-pentanoic acid (9CI) (CA INDEX NAME)

CM 1

CRN 461-05-2

CMF C7 H16 N O3 . Cl



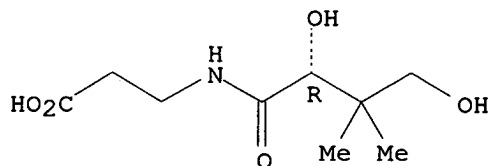
● Cl<sup>-</sup>

CM 2

CRN 79-83-4

CMF C9 H17 N O5

Absolute stereochemistry. Rotation (+).

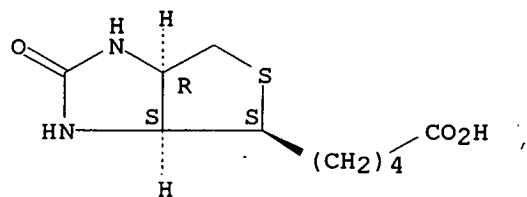


CM 3

CRN 58-85-5

CMF C10 H16 N2 O3 S

Absolute stereochemistry. Rotation (+).



L12 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2001 ACS

AN 1995:641004 CAPLUS

DN 123:199145

TI Process for preparing phosphinyloxy propanaminium inner salt derivatives

IN Prashad, Mahavir; Kapa, Prasad K.

PA Sandoz Ltd., Switz.

SO U.S., 20 pp. Continuation of Ser. No. US 93-73407, filed on 7 Jun 1993, now abando

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5412137	A	19950502	US 1994-197050	19940216
				US 1993-73407	19930607

OS MARPAT 123:199145

AB The prepn. of the title compds. R1OP(:X1)(X-)OCH(CH2CO2H)CH2N+R2R3R4 where

X and X1 are independently O or S; R1 is e.g., alkyl, substituted-alkyl; R2, R3, and R4 are each independently straight or branched chain (C1-4)alkyl, and pharmaceutically acceptable salts, physiologically hydrolyzable esters, and pro-drug forms thereof, which are useful as hypoglycemic agents (test data given) are described. A representative prep. compd.

is

(R)-3-carboxy-N,N,N-trimethyl-2-([hydroxy(tetradecyloxy)phosphinyloxy]-1-propanaminium hydroxide inner salt.

IT 157244-99-0P 157245-37-9P 157245-38-0P

157245-39-1P 157245-40-4P 157245-61-9P

157245-62-0P 157245-63-1P 157245-64-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

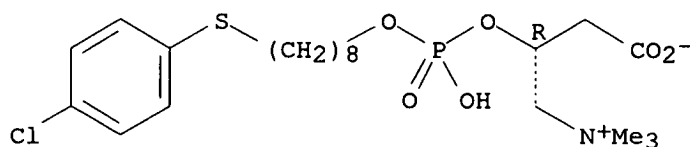
(prepn. of phosphinyloxy propanaminium inner salts as hypoglycemics)

RN 157244-99-0 CAPLUS

CN 1-Propanaminium,

3-carboxy-2-[[[8-[(4-chlorophenyl)thio]octyl]oxy]hydroxy phosphinyloxy]-N,N,N-trimethyl-, inner salt, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

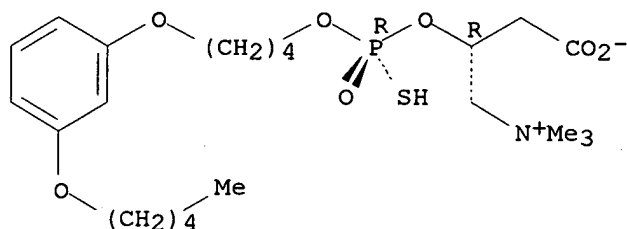


RN 157245-37-9 CAPLUS

CN 1-Propanaminium,

3-carboxy-2-[[mercapto[4-[3-(pentyloxy)phenoxy]butoxy]phosphinyl]oxy]-N,N,N-trimethyl-, inner salt, [R-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

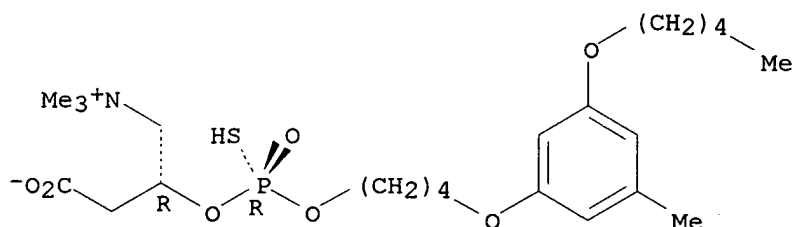


RN 157245-38-0 CAPLUS

CN 1-Propanaminium,

3-carboxy-2-[[mercapto[4-[3-methyl-5-(pentyloxy)phenoxy]butoxy]phosphinyl]oxy]-N,N,N-trimethyl-, inner salt, [R-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

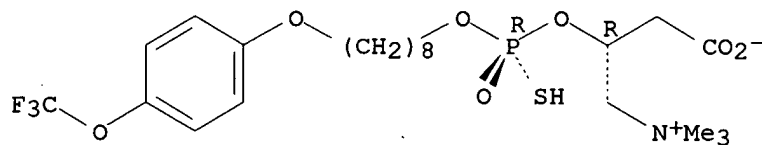


RN 157245-39-1 CAPLUS

CN 1-Propanaminium,

3-carboxy-2-[[mercapto[[8-[4-(trifluoromethoxy)phenoxy]octyl]oxy]phosphinyl]oxy]-N,N,N-trimethyl-, inner salt, [R-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

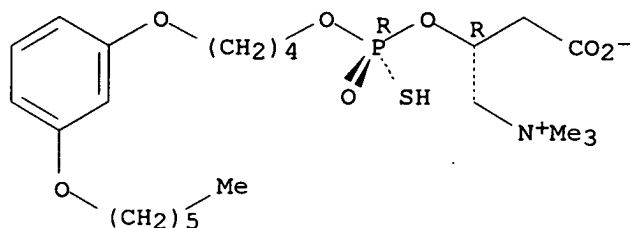


RN 157245-40-4 CAPLUS

CN 1-Propanaminium,

3-carboxy-2-[[[4-[3-(hexyloxy)phenoxy]butoxy]mercaptophosphinyl]oxy]-N,N,N-trimethyl-, inner salt, [R-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

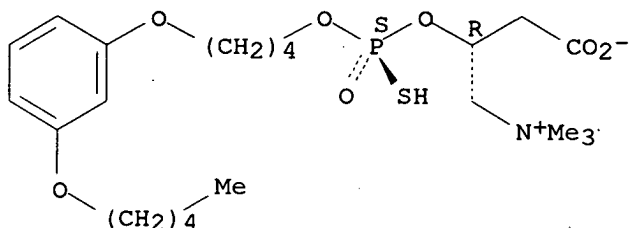


RN 157245-61-9 CAPLUS

CN 1-Propanaminium,

3-carboxy-2-[[mercapto[4-[3-(pentyloxy)phenoxy]butoxy]phosphinyl]oxy]-N,N,N-trimethyl-, inner salt, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

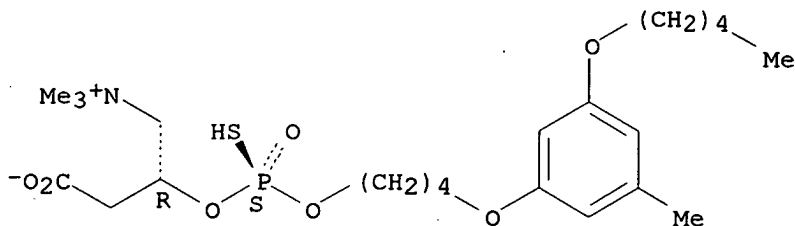


RN 157245-62-0 CAPLUS

CN 1-Propanaminium,

3-carboxy-2-[[mercapto[4-[3-methyl-5-(pentyloxy)phenoxy]butoxy]phosphinyl]oxy]-N,N,N-trimethyl-, inner salt, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

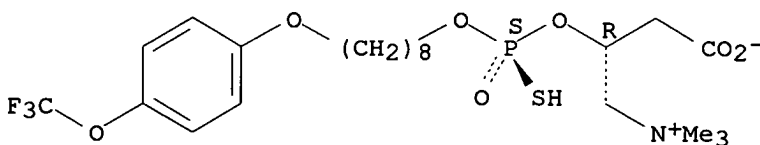


RN 157245-63-1 CAPLUS

CN 1-Propanaminium,

3-carboxy-2-[[mercapto[[8-[4-(trifluoromethoxy)phenoxy]octyl]oxy]phosphinyl]oxy]-N,N,N-trimethyl-, inner salt, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



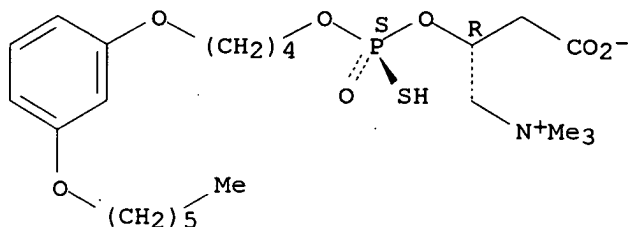


RN 157245-64-2 CAPLUS

CN 1-Propanaminium,

3-carboxy-2-[[[4-[3-(hexyloxy)phenoxy]butoxy]mercaptophos  
phenyl]oxy]-N,N,N-trimethyl-, inner salt, [S-(R\*,S\*)]- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.



L12 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2001 ACS

AN 1995:308713 CAPLUS

DN 122:82071

TI Preparation of L-(-)-**carnitine** from D-(+)-carnitinamide.

IN Giannessi, Fabio; Bolognesi, Maria L.; Tinti, Maria O.; De Angelis, Francesco

PA SIGMA-TAU Industrie Farmaceutiche Riunite S.p.A., Italy

SO Can. Pat. Appl., 35 pp.

CODEN: CPXXEB

DT Patent

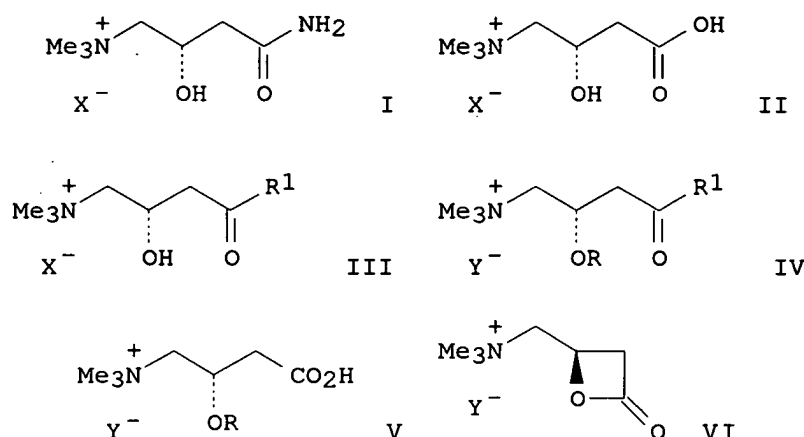
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2111898	AA	19940622	CA 1993-2111898	19931220
				IT 1992-RM915	19921221
	ZA 9309444	A	19940809	ZA 1993-9444	19930328
				IT 1992-RM915	19921221
	EP 609643	A1	19940810	EP 1993-830506	19931215
	EP 609643	B1	19960724		
SE	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
				IT 1992-RM915	19921221
	AT 140694	E	19960815	AT 1993-830506	19931215
				IT 1992-RM915	19921221
	ES 2090945	T3	19961016	ES 1993-830506	19931215
				IT 1992-RM915	19921221
	JP 06199751	A2	19940719	JP 1993-319792	19931220
				IT 1992-RM915	19921221
	US 5412113	A	19950502	US 1993-170764	19931221
				IT 1992-RM915	19921221
	US 5599978	A	19970204	US 1995-367863	19950103
				IT 1992-RM915	19921221
				US 1993-170764	19931221

OS CASREACT 122:82071

GI



AB L-(-)-**Carnitine** was prep'd. by (1) hydrolysis of D-(+)-carnitinamide (I; X = any counterion) to give D-(+)-**carnitine** (II), (2) esterification of II to give ester [III; R1 = alkoxy, (substituted) aralkoxy, diaralkoxy], (3) acylation of III with RY to give (IV; R = alkylsulfonyl, CHO, F3CO; Y = counterion imparting soly.), (4) ester cleavage of IV to afford V, (5) base-induced lactonization of V to give .beta.-lactone VI, and (6) treatment of the lactone with base. Thus, MeSO2Cl was added to D-(+)-**carnitine** benzyl ester perchlorate in pyridine with ice cooling to give 70% IV (R = OSO2Me, R1 = OCH2Ph, Y = ClO4) , which was converted to IV (R = OSO2Me,

R1 = OCH2Ph, Y = Cl) by ion exchange chromatog. The latter was hydrogenolyzed in aq. HCl over Pd/C to give V (R = OSO2Me, Y = Cl); this was stirred with NaHCO3 in H2O to give quant. VI (Y = Cl). This was converted to the methanesulfonate salt, which was stirred with NaHCO3 in H2O to give quant. L-(-)-**carnitine** inner salt.

IT **160409-56-3P**, O-Methanesulfonyl D-(+)-**carnitine** benzyl ester perchlorate **160409-57-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of L-(-)-**carnitine** from D-(+)-carnitinamide)

RN 160409-56-3 CAPLUS

CN 1-Butanaminium, N,N,N-trimethyl-2-[(methylsulfonyl)oxy]-4-oxo-4-(phenylmethoxy)-, (S)-, perchlorate (9CI) (CA INDEX NAME)

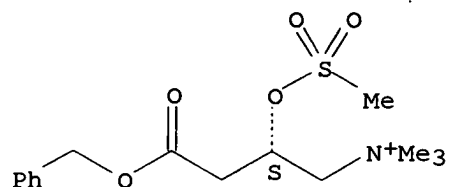
CM 1

CRN 160409-55-2

CMF C15 H24 N O5 S

CDES 1:S

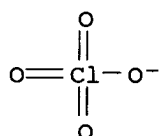
Absolute stereochemistry.



CM 2

CRN 14797-73-0

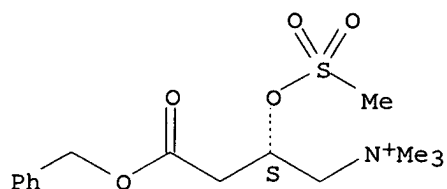
CMF Cl O4



RN 160409-57-4 CAPLUS

CN 1-Butanaminium, N,N,N-trimethyl-2-[(methylsulfonyl)oxy]-4-oxo-4-(phenylmethoxy)-, chloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● Cl<sup>-</sup>

L12 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2001 ACS

AN 1993:678776 CAPLUS

DN 119:278776

TI Use of **carnitine** or acyl **carnitine** in combination with  
an ACE inhibitor for the treatment of cardiovascular disorders

IN Cavazza, Claudio

PA Sigma-Tau Industrie Farmaceutiche Riunite S. p. A., Italy

SO Eur. Pat. Appl., 7 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

PATENT NO.

KIND DATE

APPLICATION NO. DATE

PI EP 566542 A1 19931020 EP 1993-830120 19930326  
 EP 566542 B1 19980422  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,  
 SE

			IT 1992-RM222	19920327
CA 2092505	AA	19930928	CA 1993-2092505	19930325
			IT 1992-RM222	19920327
JP 06016570	A2	19940125	JP 1993-67971	19930326
			IT 1992-RM222	19920327
ZA 9302152	A	19940210	ZA 1993-2152	19930326
			IT 1992-RM222	19920327
AT 165241	E	19980515	AT 1993-830120	19930326
			IT 1992-RM222	19920327
ES 2116429	T3	19980716	ES 1993-830120	19930326
			IT 1992-RM222	19920327
US 5861434	A	19990119	US 1996-612671	19960308
			IT 1992-RM222	19920327
			US 1993-37359	19930326
			US 1994-197453	19940216
			US 1994-350188	19941130

AB A compn. in a form suitable for oral, parenteral, rectal, or transdermal administration for the treatment of cardiovascular disorders with a low occurrence of side effects, comprises (1) L-carnitine, C2-8-acyl L-carnitine, or salts thereof, (2) an angiotensin-converting enzyme inhibitor, and (3) a pharmacol. acceptable excipient. For example,

a tablet contained lisinopril 5, L-carnitine 100, microcryst. cellulose 250, Mg stearate 20, and lactose 100 mg.

IT 151481-72-0

RL: BIOL (Biological study)  
 (cardiovascular diseases treatment with)

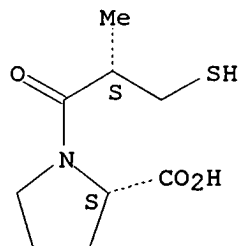
RN 151481-72-0 CAPLUS

CN L-Proline, 1-(3-mercapto-2-methyl-1-oxopropyl)-, (S)-, mixt. with (R)-3-carboxy-2-hydroxy-N,N,N-trimethyl-1-propanaminium inner salt (9CI) (CA INDEX NAME)

CM 1

CRN 62571-86-2  
 CMF C9 H15 N O3 S  
 CDES 5:L-(S)

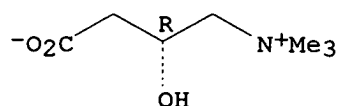
Absolute stereochemistry.



CM 2

CRN 541-15-1  
CMF C7 H15 N O3

Absolute stereochemistry. Rotation (-).



L12 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2001 ACS

AN 1992:51571 CAPLUS

DN 116:51571

TI Pharmaceutical compositions active on the cardiovascular system, containing 3-methylthiopropionyl L-carnitine

IN Cavazza, Claudio

PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy

SO Eur. Pat. Appl., 4 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 448523	A2	19910925	EP 1991-830083	19910311
	EP 448523	A3	19920415		
	EP 448523	B1	19950517		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				IT 1990-47759	19900315
	ES 2072591	T3	19950716	ES 1991-830083	19910311
				IT 1990-47759	19900315
	JP 04217656	A2	19920807	JP 1991-49907	19910314
				IT 1990-47759	19900315
	US 5173508	A	19921222	US 1991-669573	19910314
				IT 1990-47759	19900315

AB 3-Methylthiopropionyl L-carnitine and its pharmacol. acceptable salts are active on the cardiovascular system, particularly in the treatment of myocardial anoxia, cardiac ischemia, arrhythmias, and congestive heart failure. The compds. are administered orally or parenterally at .apprx.5-500 mg.

IT 138590-65-5 138590-75-7

RL: BIOL (Biological study)

(cardiovascular agent)

RN 138590-65-5 CAPLUS

CN 1-Propanaminium,

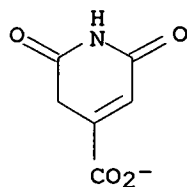
3-carboxy-N,N,N-trimethyl-2-[3-(methylthio)-1-oxopropoxy]-

, (R)-, salt with 1,2,3,6-tetrahydro-2,6-dioxo-4-pyridinecarboxylic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 138590-64-4

CMF C6 H4 N O4



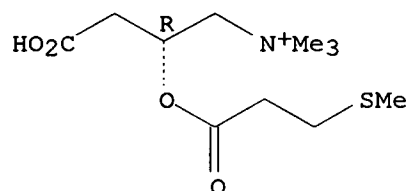
CM 2

CRN 138590-61-1

CMF C11 H22 N O4 S

CDES 1:R

Absolute stereochemistry.



RN 138590-75-7 CAPLUS

CN 1-Propanaminium,

3-carboxy-N,N,N-trimethyl-2-[3-(methylthio)-1-oxopropoxy]-

, (R)-, salt with .beta.-D-glucopyranose 1-(dihydrogen phosphate) (1:1)  
(9CI) (CA INDEX NAME)

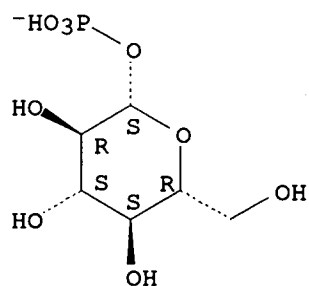
CM 1

CRN 138590-74-6

CMF C6 H12 O9 P

CDES 5:B-D-GLUCO

Absolute stereochemistry.

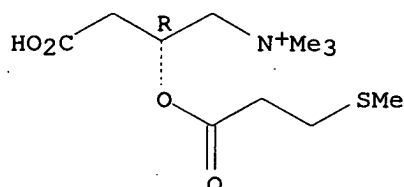


CM 2

CRN 138590-61-1

CMF C11 H22 N O4 S  
CDES 1:R

Absolute stereochemistry.



L12 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2001 ACS

AN 1991:656641 CAPLUS

DN 115:256641

TI Preparation of methionylcarnitines as cardiovascular agents

IN Tinti, Maria Ornella; Misiti, Domenico; Cavazza, Claudio

PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy

SO Eur. Pat. Appl., 7 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 446185	A1	19910911	EP 1991-830070	19910304
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				IT 1990-47724	19900306
	JP 04279561	A2	19921005	JP 1991-40100	19910306
				IT 1990-47724	19900306
AB	L-Methionyl-L-carnitine (I) and its salts were prepd. as cardiovascular agents (no data). Thus, N-carbobenzoxy-L-methionine was dissolved in anhyd. THF and N,N'-dicarbonylimidazole was added at 0.degree.. Dimethylaminopyridine and a soln. of L-carnitine benzyl ester perchlorate was added and the mixt. was stirred 48 h at room temp. to give N-carbobenzoxy-L-methionyl-L-carnitine benzyl ester perchlorate. This was converted to the chloride, then HCl was added, and the soln. hydrogenated to give I chloride hydrochloride.				
IT	<b>137338-99-9P 137339-00-5P</b>				
	RL: SPN (Synthetic preparation); PREP (Preparation)				
	(prepn. of, as intermediate for cardiovascular agent)				
RN	137338-99-9 CAPLUS				
CN	L-Methionine, N-[(phenylmethoxy)carbonyl]-, 3-oxo-3-(phenylmethoxy)-1-[(trimethylammonio)methyl]propyl ester, (R)-, perchlorate (9CI) (CA				

INDEX

NAME)

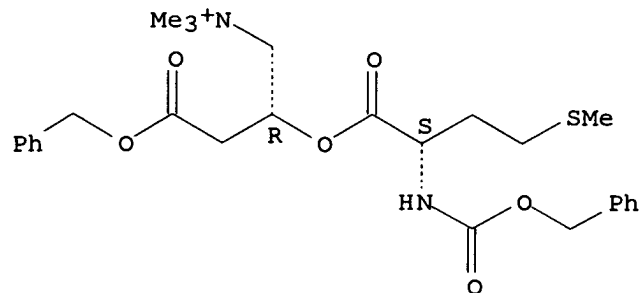
CM 1

CRN 137338-98-8

CMF C27 H37 N2 O6 S

CDES 5:L-(R)

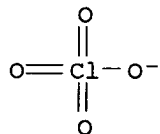
Absolute stereochemistry.



CM 2

CRN 14797-73-0

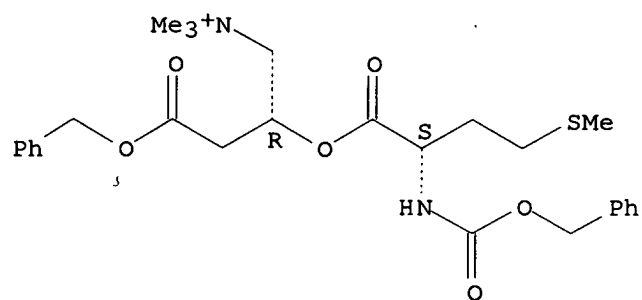
CMF Cl O4



RN 137339-00-5 CAPLUS

CN L-Methionine, N-[(phenylmethoxy)carbonyl]-, 3-oxo-3-(phenylmethoxy)-1-  
 [(trimethylammonio)methyl]propyl ester, chloride, (R)- (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry.



L12 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2001 ACS

AN 1991:164734 CAPLUS

DN 114:164734

TI A new, short and efficient synthesis of both enantiomers of  
**carnitine**

AU Bellamy, F. D.; Bondoux, M.; Dodey, P.

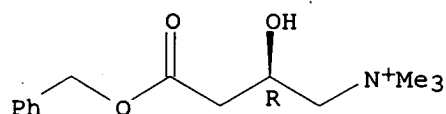


CS Res. Cent., Lab. Fournier, Daix, 21121, Fr.  
 SO Tetrahedron Lett. (1990), 31(50), 7323-6  
 CODEN: TELEAY; ISSN: 0040-4039  
 DT Journal  
 LA English  
 OS CASREACT 114:164734  
 AB A short, efficient and enantioselective synthesis of both (R) and (S) enantiomers of **carnitine** is reported starting with (R)- and (S)-malic acid and involving a regioselective redn. step.  
 IT **133039-07-3P 133099-38-4P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and catalytic hydrogenolysis of)  
 RN 133039-07-3 CAPLUS  
 CN 1-Butanaminium, 2-hydroxy-N,N,N-trimethyl-4-oxo-4-(phenylmethoxy)-, (R)-, salt with 4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

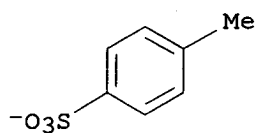
CRN 113760-82-0  
 CMF C14 H22 N O3  
 CDES 1:R

Absolute stereochemistry.



CM 2

CRN 16722-51-3  
 CMF C7 H7 O3 S

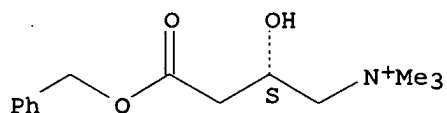


RN 133099-38-4 CAPLUS  
 CN 1-Butanaminium, 2-hydroxy-N,N,N-trimethyl-4-oxo-4-(phenylmethoxy)-, (S)-, salt with 4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133099-37-3  
 CMF C14 H22 N O3  
 CDES 1:S

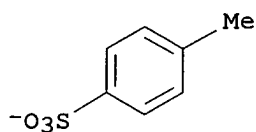
Absolute stereochemistry.



CM 2

CRN 16722-51-3

CMF C7 H7 O3 S



IT 133039-08-4P 133039-09-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 133039-08-4 CAPLUS

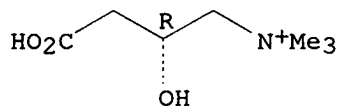
CN 1-Propanaminium, 3-carboxy-2-hydroxy-N,N,N-trimethyl-, (R)-, salt with  
4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 44984-08-9

CMF C7 H16 N O3

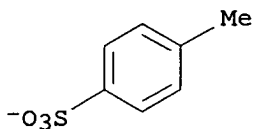
Absolute stereochemistry. Rotation (-).



CM 2

CRN 16722-51-3

CMF C7 H7 O3 S



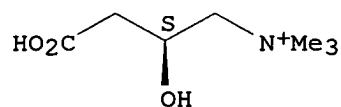
RN 133039-09-5 CAPLUS

CN 1-Propanaminium, 3-carboxy-2-hydroxy-N,N,N-trimethyl-, (S)-, salt with  
4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

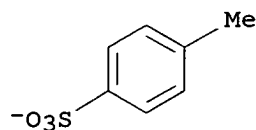
CRN 44985-79-7  
 CMF C7 H16 N O3  
 CDES 1:S

Absolute stereochemistry.



CM 2

CRN 16722-51-3  
 CMF C7 H7 O3 S



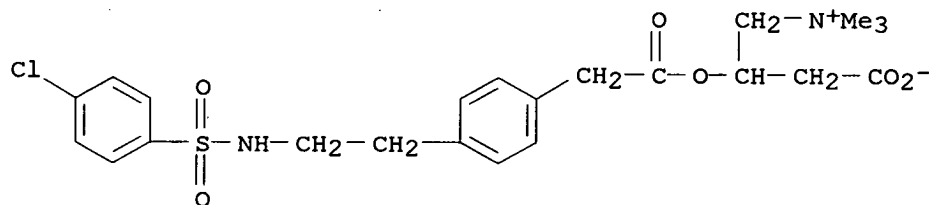
L12 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2001 ACS  
 AN 1990:490783 CAPLUS  
 DN 113:90783  
 TI Analysis of xenobiotic conjugates by thermospray liquid chromatography/mass spectrometry  
 AU Dulik, Deanne M.; Kuo, George Y.; Davis, Margaret R.; Rhodes, Gerald R.  
 CS Dep. Drug Metab., Smith, Kline and French Res. Lab., Swedeland, PA, 19479, USA  
 SO ACS Symp. Ser. (1990), 420(Liq. Chromatogr./Mass Spectrom.), 124-39  
 CODEN: ACSMC8; ISSN: 0097-6156  
 DT Journal  
 LA English  
 AB Pos. ion thermospray liq. chromatog./mass spectrometry and liq. chromatog./mass spectrometry/mass spectrometry anal. of xenobiotic conjugates obtained either from biol. fluids or from enzymic-chem. synthesis provides important information for the structure elucidation of this class of polar compds. Conjugate metabolites amenable to thermospray liq. chromatog./mass spectrometry anal. include sulfate esters, glucuronides, taurine and **carnitine** conjugates. Thermospray ionization of these metabolites is best achieved in acidic buffers such as ammonium acetate; mol. ions are obsd. as [M+H]<sup>+</sup> or [M+NH<sub>4</sub>]<sup>+</sup>. Fragment ions are typically formed by loss of the conjugate moiety. Further structural information may be obtained through fragmentation of sample ions by collision-activated dissochn.  
 IT 128855-47-0

RL: FORM (Formation, nonpreparative)  
(formation of, as SKF 96148 metabolite, thermospray liq.  
chromatog./mass spectrometry in detn. of)

RN 128855-47-0 CAPLUS

CN 1-Propanaminium,

3-carboxy-2-[[[4-[2-[[[4-chlorophenyl)sulfonyl]amino]ethy  
l]phenyl]acetyl]oxy]-N,N,N-trimethyl-, inner salt (9CI) (CA INDEX NAME)



L12 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2001 ACS

AN 1988:469085 CAPLUS

DN 109:69085

TI S-(p-Azidophenacyl)thiocarnitine specifically binds to the  
.gamma.-butyrobetaine-binding protein of Agrobacterium sp. and can be  
used

as a photoaffinity label

AU Nobile, S.; Baccino, D.; Deshusses, J.

CS Dep. Biochem., Univ. Geneva, Geneva, CH-1211/4, Switz.

SO FEBS Lett. (1988), 233(2), 335-8

CODEN: FEBLAL; ISSN: 0014-5793

DT Journal

LA English

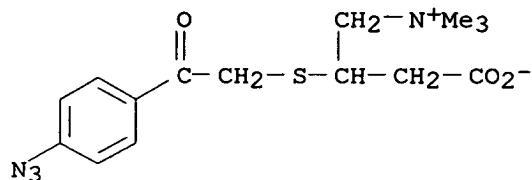
AB The photoaffinity reagent S-(p-azidophenacyl)thiocarnitine (PAP-TC) was  
synthesized according to J. Mauro et al. (1986). This compd., originally  
designed for a structure-function study of **carnitine**  
acetyltransferase, was used to analyze the Agrobacterium sp.  
.gamma.-butyrobetaine transport system. PAP-TC appears to be a reagent  
specific to the transport system since it showed a competitive inhibition  
( $K_i = 70 \mu\text{M}$ ) of .gamma.-butyrobetaine transport. UV irradiation of  
periplasmic proteins in the presence of [ $^{14}\text{C}$ ]PAP-TC resulted in the  
irreversible labeling of the .gamma.-butyrobetaine-binding protein. The  
addition of 1 mM .gamma.-butyrobetaine in the mixture significantly decreased  
the incorporation of the reagent, showing that this compd. reacts  
specifically with the binding protein.

IT 104061-09-8P

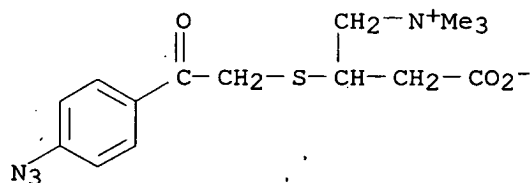
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and butyrobetaine-binding protein of Agrobacterium  
photolabeling by)

RN 104061-09-8 CAPLUS

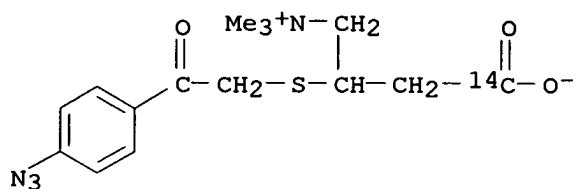
CN 1-Propanaminium, 2-[[[2-(4-azidophenyl)-2-oxoethyl]thio]-3-carboxy-N,N,N-  
trimethyl-, inner salt (9CI) (CA INDEX NAME)



L12 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2001 ACS  
 AN 1986:511033 CAPLUS  
 DN 105:111033  
 TI Photoaffinity labeling of **carnitine** acetyltransferase with S-(p-azidophenacyl)thiocarnitine  
 AU Mauro, J. Matthew; Lewis, Randolph V.; Barden, Roland E.  
 CS Dep. Chem., Univ. Wyoming, Laramie, WY, 82071, USA  
 SO Biochem. J. (1986), 237(2), 533-40  
 CODEN: BIJOAK; ISSN: 0306-3275  
 DT Journal  
 LA English  
 AB A photolabile reagent, p-azidophenacyl-DL-thiocarnitine, was synthesized and tested as a photoaffinity label for **carnitine** acetyltransferase (EC 2.3.1.7) from pigeon breast. p-Azidophenacyl-DL-thiocarnitine is an active-site-directed reagent for this acetyltransferase, since it is a competitive inhibitor ( $K_i$  10  $\mu$ M) vs. **carnitine**. UV irradiation of a mixture of p-azidophenacyl-DL-thiocarnitine and enzyme produces irreversible inhibition. Acetyl-DL-**carnitine** protects the enzyme from inhibition by photoactivated p-azidophenacyl-DL-thiocarnitine. In the presence of 30 mM 2-mercaptoethanol as a scavenger, the relation between loss of activity and photoincorporation of reagent suggests that 1 mol. of reagent is incorporated per mol. of inhibited enzyme. However, peptide maps of enzyme labeled with p-azidophenacyl-[14C]thiocarnitine indicate that several (approx. 6) tryptic peptides (of a possible 60-65) are modified. The presence of 5 mM acetyl-DL-**carnitine** significantly decreases the incorporation of reagent in each labeled tryptic peptide.  
 IT 104061-09-8P 104061-10-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and photoreaction with **carnitine** acetyltransferase)  
 RN 104061-09-8 CAPLUS  
 CN 1-Propanaminium, 2-[[2-(4-azidophenyl)-2-oxoethyl]thio]-3-carboxy-N,N,N-trimethyl-, inner salt (9CI) (CA INDEX NAME)



RN 104061-10-1 CAPLUS  
 CN 1-Propanaminium, 2-[[2-(4-azidophenyl)-2-oxoethyl]thio]-3-(carboxy-14C)-N,N,N-trimethyl-, inner salt (9CI) (CA INDEX NAME)



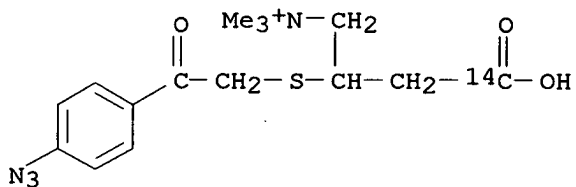
IT 104061-11-2

RL: RCT (Reactant)

(reaction of, with azidophenacylbromide)

RN 104061-11-2 CAPLUS

CN 1-Propanaminium, 2-[[2-(4-azidophenyl)-2-oxoethyl]thio]-3-(carboxy-14C)-N,N,N-trimethyl-, chloride (9CI) (CA INDEX NAME)

● Cl<sup>-</sup>

L12 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2001 ACS

AN 1983:72738 CAPLUS

DN 98:72738

TI Mercaptoacylcarnitine esters and their therapeutic use

PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy

SO Belg., 15 pp.

CODEN: BEXXAL

DT Patent

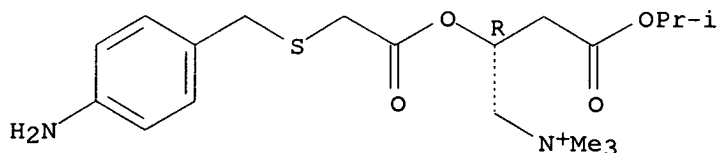
LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 892602	A1	19820716	BE 1982-207643	19820323
	GB 2096136	A	19821013	IT 1981-48166	19810331
	GB 2096136	B2	19850403	GB 1982-7449	19820315
	DE 3209330	A1	19821021	IT 1981-48166	19810331
	DE 3209330	C2	19900523	DE 1982-3209330	19820315
	US 4567200	A	19860128	IT 1981-48166	19810331
	CA 1167864	A1	19840522	US 1982-358502	19820315
	CH 656873	A	19860731	IT 1981-48166	19810331
				CA 1982-398990	19820322
				IT 1981-48166	19810331
				CH 1982-1819	19820324

SE 8201954	A	19821001	IT 1981-48166	19810331
SE 448539	B	19870302	SE 1982-1954	19820326
SE 448539	C	19870611		
DK 8201449	A	19821001	IT 1981-48166	19810331
DK 165685	B	19930104	DK 1982-1449	19820330
DK 165685	C	19930601		
NL 8201320	A	19821018	IT 1981-48166	19810331
ES 510927	A1	19830801	NL 1982-1320	19820330
AT 8201248	A	19870315	IT 1981-48166	19810331
AT 384213	B	19871012	ES 1982-510927	19820330
FR 2503149	A1	19821008	IT 1981-48166	19810331
FR 2503149	B1	19850726	AT 1982-1248	19820330
JP 57176949	A2	19821030	IT 1981-48166	19810331
JP 02051903	B4	19901108	JP 1982-54752	19820331
IL 65396	A1	19841031	IT 1981-48166	19810331
			IL 1982-65396	19820331
			IT 1981-48166	19810331
AB	Me3N+CH2CH(OR)CH2CO2R1.X- (I; R = mercaptoacyl, R1 = alkyl, X = halo)			
were	prepd. for use as mucolytic agents and in the treatment of burns and of disorders of the respiratory system and of the epithelium (data tabulated). Thus, <b>carnitine</b> iso-Pr ester hydrochloride was esterified with p-O2NC6H4CH2SCH2COCl to give I (R = p-O2NC6H4CH2SCH2CO, R1 = Me2CH, X = Cl). The nitrobenzyl group was removed by redn. of the NO2 group and then treatment with Hopkins reagent and with H2S to give I (R = HSCH2CO, R1 = Me2CH, X = Cl).			
R1				
IT	<b>83544-88-1P</b>			
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and debenzoylation of)			
RN	83544-88-1 CAPLUS			
CN	1-Butanaminium, 2-[[[(4-aminophenyl)methyl]thio]acetyl]oxy]-N,N,N-trimethyl-4-(1-methylethoxy)-4-oxo-, chloride, (R)- (9CI) (CA INDEX NAME)			

Absolute stereochemistry.

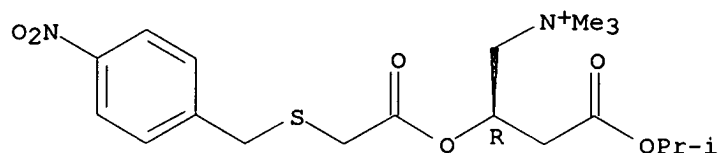


● Cl<sup>-</sup>

IT **83544-87-0P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. and redn. of)  
 RN 83544-87-0 CAPLUS  
 CN 1-Butanaminium, N,N,N-trimethyl-4-(1-methylethoxy)-2-[[[(4-nitrophenyl)methyl]thio]acetyl]oxy]-4-oxo-, chloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d his

(FILE 'HOME' ENTERED AT 10:35:59 ON 11 JUN 2001)

FILE 'REGISTRY' ENTERED AT 10:36:10 ON 11 JUN 2001

L1 STRUCTURE UPLOADED  
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 L3 8 S L1 SAM SUB=L2  
 L4 129 S L1 FULL SUB=L2

FILE 'CAPLUS' ENTERED AT 10:38:18 ON 11 JUN 2001

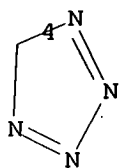
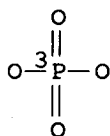
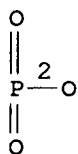
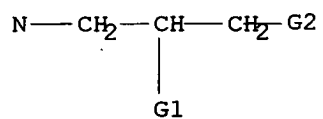
L5 0 S CARNITINE PALMITOYL-TRANSFERASE  
 L6 22 S CARNITINE TRANSFERASE?  
 L7 19 S CARNITINE TRANSFERASE  
 L8 22 S CARNITINE TRANSFERASE?  
 L9 0 S CARNITINE TRANSFERASE INHIBITOR?  
 L10 0 S L4 AND L8  
 L11 64 S L4  
 L12 15 S L11 AND CARNITINE

=> d 11

L1 HAS NO ANSWERS

L1 STR





G1 O,S,N

G2 [01],[02],[03],[04]

Structure attributes must be viewed using STN Express query preparation.